

What is claimed is:

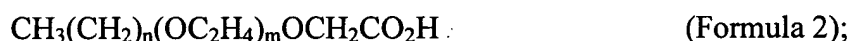
1. A method of activating a receptor, comprising bringing said receptor into contact with an amphiphilic drug-oligomer conjugate comprising a therapeutic compound conjugated to an oligomer, wherein the oligomer comprises a lipophilic moiety coupled with a hydrophilic moiety.
2. The method of claim 1, further characterized in that said conjugate exhibits activity without cleavage of the therapeutic compound from the oligomer.
3. The method of claim 1, wherein the receptor is a G-protein coupled receptor.
4. The method of claim 1, wherein the receptor is an opioid receptor.
5. The method of claim 1, wherein the receptor is an opioid receptor selected from the group consisting of  $\delta$ ,  $\mu$ , and  $\kappa$ .
6. The method of claim 1, wherein the hydrophilic moiety is selected from the group consisting of sugar and PEG<sub>1-7</sub>.
7. The method of claim 1, wherein the hydrophilic moiety is selected from the group consisting of fatty acid, alkyl 1-26, cholesterol and adamantane.
8. The method of claim 1, wherein the therapeutic compound is a peptide having an added N-terminal residue selected from the group consisting of proline and alanine.
9. The method of claim 1, wherein the therapeutic compound is a peptide or protein.
10. The method of claim 1, wherein the therapeutic compound is a peptide and the peptide is selected from the group consisting of: enkephalin, adrenocorticotropic

hormone, adenosine deaminase, ribonuclease, alkaline phosphatase, angiotensin, antibodies, arginase, arginine deaminase, asparaginase, caerulein, calcitonin, chemotrypsin, cholecystokinin, clotting factors, dynorphins, endorphins, enkephalins, erythropoietin, gastrin-releasing peptide, glucagon, hemoglobin, hypothalamic releasing factors, interferon, katacalcin, motilin, neuropeptide Y, neurotensin, non-naturally occurring opioids, oxytocin, papain, parathyroid hormone, prolactin, soluble CD-4, somatomedin, somatostatin, somatotropin, superoxide dismutase, thyroid stimulating hormone, tissue plasminogen activator, trypsin, vasopressin, and analogues and active fragments of such peptides.

11. The method of claim 1, wherein the amphiphilic oligomer is selected from the group consisting of:



wherein  $n=3$  to 25 and  $m=1$  to 6;



wherein  $n=3$  to 25 and  $m=1$  to 7;



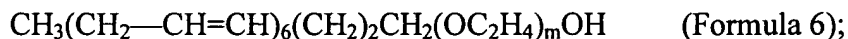
wherein  $n=3$  to 25,  $m=1$  to 7 and  $X=\text{O}$  or  $\text{N}$ ;



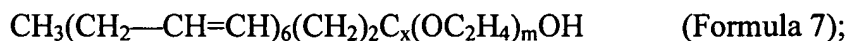
wherein  $m=0$  to 5 and  $\text{R}=\text{cholesterol}$  or  $\text{adamantane}$ ;



wherein  $m=0$  to 5;



wherein  $m=0$  to 7; and



wherein  $m=1$  to 7 and  $X=\text{N}$  or  $\text{O}$ .

12. The method of claim 1, wherein the hydrophilic moiety is coupled to the hydrophobic moiety by a hydrolyzable bond.
13. The method of claim 1, wherein the hydrophilic moiety is coupled to the hydrophobic moiety by a non-hydrolyzable bond.
14. The method of claim 1, wherein the therapeutic compound is an opioid receptor agonist, antagonist or partial agonist/partial antagonist.
15. The method of claim 1, wherein the therapeutic compound is an enkephalin.